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THERAPEUTIC COMPOSITIONS

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(57) Claim

1. A therapeutic composition comprising the following active ingredients:

one or more vitamins and/or provitamins,

one or more amino acid metal chelates,

Echinacea extract,

Ginkgo biloba extract,

one or more antioxidants,

together with a pharmaceutically acceptable carrier vehicle.

2. A therapeutic composition comprising the following active ingredients:

β -carotene (provitamin A),

ascorbic acid (vitamin C),

cholecalciferol (vitamin D3),

d- α -tocopherol (vitamin E),

one or more amino acid chelates,

Echinacea extract,

Ginkgo biloba extract,

one or more antioxidants,

together with a pharmaceutically acceptable carrier vehicle.

3. A therapeutic composition comprising the following active ingredients:

β -carotene (provitamin A),
one or more B-group vitamins,
ascorbic acid (vitamin C),
cholecalciferol (vitamin D3),
d- α -tocopherol (vitamin E),
one or more amino acid chelates,
Echinacea extract,
Ginkgo biloba extract,
one or more antioxidants,

together with a pharmaceutically acceptable carrier vehicle.

ABSTRACT

The therapeutic compositions of the present invention comprise the following active ingredients:

- 5 One or more vitamins and/or provitamins,
 One or more amino acid metal chelates,
 Echinacea extract,
 Gingko biloba extract,
 One or more antioxidants,
10. together with a pharmaceutically acceptable carrier vehicle.



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COMPLETE SPECIFICATION

FOR A STANDARD PATENT

ORIGINAL

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The following statement is a full description of this invention, including the best method of performing it known to us.

BACKGROUND TO THE INVENTION

Many health food supplements, vitamin preparations etc are currently being marketed, which rely for their effectiveness on the combined effects of the various components.

It has now surprisingly been found that a particular combination of vitamins and other active ingredients has a significant synergistic effect, with the therapeutic effect of the composition being considerably greater than the additive effect of the various components. Furthermore, the compositions as a whole have therapeutic and/or prophylactic effects which are unexpected from consideration of the individual components.

DESCRIPTION OF THE INVENTION

The therapeutic compositions of the present invention comprise the following active ingredients:

One or more vitamins and/or provitamins,

One or more amino acid metal chelates,

Echinacea extract,

Ginkgo biloba extract,

One or more antioxidants,

together with a pharmaceutically acceptable carrier vehicle.

Vitamins and Provitamins which may be incorporated in these compositions include: Beta-carotene (Provitamin A); B-group vitamins such as Folic acid or Folate (Vitamin Bc) and Cyanocobalamin or Cobalamin (Vitamin B12); Ascorbic acid (Vitamin C); Cholecalciferol (Vitamin D3) and d-Alpha-Tocopherol (Vitamin E). Vitamins A, C and E may also serve as the antioxidants of the present invention.

According to a first specific aspect of the invention, a therapeutic composition of the present invention comprises the following active ingredients:

Beta-carotene (Provitamin A),
Ascorbic acid (Vitamin C),
Cholecalciferol (Vitamin D3),
d-Alpha-Tocopherol (Vitamin E),
5 One or more amino acid metal chelates,
Echinacea extract,
Gingko biloba extract,
One or more antioxidants, such as Proanthocyanidins,
together with a pharmaceutically acceptable carrier vehicle.

10

According to a second specific aspect of the invention, a therapeutic composition of the present invention comprises the following active ingredients:

Beta-carotene (Provitamin A),
One or more B-group Vitamins,
15 Ascorbic acid (Vitamin C),
Cholecalciferol (Vitamin D3),
d-Alpha-Tocopherol (Vitamin E),
One or more amino acid metal chelates,
Echinacea extract,
20 *Gingko biloba* extract,
One or more antioxidants, such as Proanthocyanidins,
together with a pharmaceutically acceptable carrier vehicle.

25 All of the above compositions may also comprise further active ingredients, such as one or more bioflavonoids, Cysteine (optionally in the form of a pharmaceutically acceptable salt, eg Cysteine hydrochloride) or Choline (optionally in the form of a pharmaceutically acceptable salt, eg Choline bitartrate), and customary adjuvants and excipients.

30 The compositions may be formulated in any appropriate form, such as tablets, capsules, lozenges, emulsions, solutions and suspensions.

It has been found that the combination of vitamins and other active ingredients in the compositions of the present invention has a significant synergistic effect.

Furthermore, the compositions have been found to be effective in stimulating the circulatory system, lowering blood pressure, lowering blood cholesterol levels,
5 chelating cadmium and thereby reversing atherosclerosis, providing protection against cancer, and also aiding recovery from cancer.

Previously known properties of various ingredients of the present composition are described below.

10

Beta-carotene is a precursor of Retinol (Vitamin A). Retinol is a nutritional factor for growth and maintenance of mucous surfaces, and may also be used topically to treat acne. A deficiency may cause night blindness, dry eyes or stunted growth. The recommended daily dose (RDD) of Beta-carotene is 1-15 mg.

15

B-group Vitamins assist in relieving stress.

Folic acid or Folate (Vitamin Bc) may be used to treat or prevent vascular disease. A deficiency can lead to anaemia. Administration during pregnancy helps to prevent
20 spina bifida.

Cyanocobalamin or Cobalamin (Vitamin B12) assists calcium uptake into bones. Its deficiency can result in pernicious anaemia.

25

There are some health concerns regarding administration of folic acid, in that it can mask the symptoms, but not the adverse health effects, of Vitamin B12 deficiency. Accordingly, it is preferable to administer a combination of Folic acid or Folate (Vitamin Bc) and Cyanocobalamin or Cobalamin (Vitamin B12), advantageously in a ratio of about 75:1 (by weight). For example, the composition may comprise 75µg of
30 Folic acid and 1µg of Cyanocobalamin or Cobalamin.

Ascorbic acid (Vitamin C) is an essential dietary factor, and is alleged to be effective in preventing the common cold. Deficiency leads to scurvy.

5 Cholecalciferol (Vitamin D3) promotes the absorption of calcium into the bones. A deficiency of Vitamin D3 results in bone deficiency disorders, such as osteoporosis and rickets .

d-Alpha-Tocopherol (Vitamin E) is a nutritional factor. Its main effect is thought to be increasing stability of cell membranes. It has been used to enhance fertility.

10

Antioxidants, including Beta-carotene (Provitamin A) and d-Alpha-Tocopherol (Vitamin E), are associated with lower risks of lung and other forms of cancer, and have been shown to be effective in moderating hypertension and hyperlipidemia, as well as assisting in the chelation of heavy metals such as cadmium which bind cholesterol plaque.

15

Amino acid metal chelates are used as sources of metal ions. Examples of amino acid metal chelates which may be used in the compositions of the present invention are zinc amino acid chelate, iron amino acid chelate, magnesium amino acid chelate, calcium amino acid chelate and manganese amino acid chelate.

20

Echinacea extract is an immune system stimulant.

Ginkgo biloba extract stimulates the circulatory system.

25

Any therapeutically useful antioxidants may be used in the compositions of the present invention. Preferred antioxidants are Proanthocyanidins (which may be obtained from pine bark extract or grape seed extract), Pycnogenol™, garlic extract, bilberry extract and lime flower extract.

30

Pycnogenol™ is a mixture of polysaccharides (proanthocyanidins, anthocyanidins, anthocyanosides and glycosides) which act as antioxidants and are able to cross the

blood-brain barrier. It is extracted from grapes, cranberries, beans, other fruits and vegetables, and (in particular) the maritime pine (*Pinus pinaster*). Pycnogenol™ potentiates the beneficial effects of Vitamin C, improves circulation, helps prevent heart disease and combats the pain of arthritis.

5

Various of the vitamins already mentioned (Provitamin/Vitamin A, Vitamin C and Vitamin E) may also be used as antioxidants in the compositions of the present invention.

- 10 Bioflavonoids are hemostatic agents, which have been used in the treatment of capillary fragility.

Cysteine has detoxification properties.

- 15 Choline is a nutritional and lipotropic factor.

Preferably, various components of the composition will be present in the following percentages by weight of the total composition:

| | | |
|----|--|--------------|
| 20 | Beta-carotene (Provitamin A) | 0.15-1.7 |
| | Ascorbic acid (Vitamin C) | 8-40 |
| | Cholecalciferol (Vitamin D3) | 0.0004-0.002 |
| | d-Alpha-Tocopherol (Vitamin E) | 0.8-4 |
| | Amino acid metal chelates | 9-45 |
| 25 | Bioflavonoids | 2-8 |
| | <i>Echinacea</i> extract | 1.5-4 |
| | <i>Ginkgo biloba</i> extract | 0.08-0.4 |
| | Proanthocyanidins and other antioxidants | 2-17 |

- 30 The invention will now be further described with respect to the following Example, which is illustrative but not restrictive of the present invention.

EXAMPLE

Preferred ranges of ingredients, as well as the specific amounts used in the Example, are specified below:

| 5 | INGREDIENT AAN*/IDENTITY/ PRESENTATION ACTIVES: | QUANTITY PER TABLET | PREFERRED RANGE |
|----|--|------------------------|--------------------------|
| | | | % BY WEIGHT (APPROX.) |
| | Retinol (Vitamin A) | nil | 0-0.05 |
| 10 | Beta-carotene (Provitamin A-NAN**) | 2.0mg | 0.15-1.7 |
| | Thiamine hydrochloride (Vitamin B1) | 500µg | 0-0.15 |
| | Riboflavine (Vitamin B2) | 800µg | 0-0.25 |
| | Nicotinamide (Vitamin B3 - NAN**) | 25mg | 0-8 |
| | Nicotinic acid (Vitamin B3) | nil | 0-0.8 |
| 15 | Calcium pantothenate (Vitamin B5) | 50mg | 0-8 |
| | Pyridoxine hydrochloride (Vitamin B6) | 1.0mg | 0-0.8 |
| | Cyanocobalamin (Vitamin B12) | 1.0µg | 0-0.001 |
| | Ascorbic acid (Vitamin C) | 200mg | 8-40 |
| | Cholecalciferol (Vitamin D3) | 6.1µg | 0.0004-0.002 |
| 20 | d-Alpha-Tocopherol (Vitamin E) | 21mg | 0.8-4 |
| | Biotin (Vitamin H - NAN**) | 30µg | 0-0.01 |
| | Folic acid (Vitamin Bc - NAN**) | 75µg | 0-0.013 |
| | Zinc amino acid chelate | 8mg | 9-45 |
| | (equiv 1.6mg zinc) | | |
| 25 | Iron amino acid chelate | 26mg | |
| | (equiv 2.6mg iron) | | |
| | Magnesium amino acid chelate | 25mg | |
| | (equiv 5mg magnesium) | | |
| | Calcium amino acid chelate | 50mg | |
| 30 | (equiv 10mg calcium) | | |
| | Manganese amino acid chelate | 10mg | |
| | (equiv 1mg manganese) | | |

| | | | |
|----|--|--------|----------|
| | Potassium iodide (equiv 15µg iodine) | 20µg | 0-0.01 |
| | Potassium gluconate (equiv 10mg potassium) | 60mg | 0-20 |
| | Chromic chloride (equiv 10µg chromium) | 51.3µg | 0-0.02 |
| 5 | Copper gluconate (equiv 10µg copper) | 72µg | 0-0.025 |
| | Selenium | nil | 0-0.0015 |
| | Cysteine hydrochloride | 50mg | 0-17 |
| | Choline bitartrate | 25mg | 0-4 |
| | Inositol | 25mg | 0-4 |
| 10 | Bioflavonoids | 25mg | 2-8 |
| | <i>Pinus pinaster</i> (Maritime pine) bark Ext | nil | 0.8-8 |
| | <i>Vitis vinifera</i> (Grape) seed Ext Equiv 1.8g dry | 15mg | |
| | <i>Petroselinum crispum</i> (Parsley) herb dry | 30mg | 0-8 |
| 15 | <i>Allium sativum</i> (Garlic) bulb Ext conc. Equiv 35mg dry | 2.92mg | 1.2-9 |
| | <i>Vaccinium myrtillus</i> (Bilberry) fruit Ext Equiv 500mg dry | 5mg | |
| | <i>Tilia cordata</i> (Lime) flower Ext Equiv 70mg dry | 10mg | |
| 20 | <i>Echinacea purpurea</i> (Echinacea) root Ext Equiv 100mg dry | 25mg | 1.5-4 |
| | <i>Ginkgo biloba</i> (Ginkgo) leaf Ext Equiv 60mg dry | 1.2mg | 0.08-0.4 |

TOTAL WEIGHT OF TABLET ACTIVES: 733.6mg

EXCIPIENTS: Tableting aids est. 501.6mg

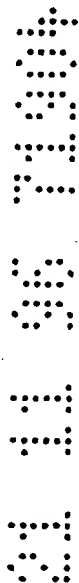
TOTAL WEIGHT OF TABLET est. 1235.2mg

* AAN = Australian Approved Name

** NAN = Non-Approved Name

While the present invention has been described in terms of a preferred embodiment in order to facilitate better understanding of the invention, it should be appreciated that various modifications can be made without departing from the principles of the invention. Therefore, the invention should be understood to include all such

5 modifications within its scope.



THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

1. A therapeutic composition comprising the following active ingredients:

one or more vitamins and/or provitamins,

5 one or more amino acid metal chelates,

Echinacea extract,

Gingko biloba extract,

one or more antioxidants,

together with a pharmaceutically acceptable carrier vehicle.

10

2. A therapeutic composition comprising the following active ingredients:

a plurality of vitamins and/or provitamins, including a synergistic

combination of at least two vitamins from the Vitamin B group;

one or more amino acid metal chelates;

15 *Echinacea* extract;

Gingko biloba extract;

one or more antioxidants;

together with a pharmaceutically acceptable carrier vehicle.

20

3. A therapeutic composition according to claim 1 or claim 2, wherein said vitamins

and/or provitamins include Vitamin B12 (cyanocobalamin or cobalamin) and

Vitamin Bc (folic acid or folate), said amino acid chelates include calcium amino acid

chelate, and the amount of calcium derived from the calcium amino acid chelate is

synergistically balanced with the amounts of Vitamin B12 and Vitamin Bc.

25

4. A therapeutic composition comprising the following active ingredients:

β -carotene (provitamin A),

ascorbic acid (vitamin C),

cholecalciferol (vitamin D3),

30

d- α -tocopherol (vitamin E),

one or more amino acid chelates,

Echinacea extract,



Ginkgo biloba extract,
one or more antioxidants,
together with a pharmaceutically acceptable carrier vehicle.

5 5. A therapeutic composition comprising the following active ingredients:

β -carotene (provitamin A),
one or more B-group vitamins,
ascorbic acid (vitamin C),
cholecalciferol (vitamin D3),
10 d- α -tocopherol (vitamin E),
one or more amino acid chelates,
Echinacea extract,
Ginkgo biloba extract,
one or more antioxidants,

15 together with a pharmaceutically acceptable carrier vehicle.

6. A therapeutic composition according to claim 1, wherein said vitamins and/or
provitamins are selected from β -carotene (provitamin A), B-group vitamins, ascorbic
acid (vitamin C), cholecalciferol (vitamin D3) and d- α -tocopherol (vitamin E).

20 7. A therapeutic composition according to claim 2 or claim 6, wherein the B-group
vitamins are folic acid or folate (vitamin Bc) and cyanocobalamin or cobalamin
(vitamin B12).

25 8. A therapeutic composition according to claim 6 or claim 7, comprising folic acid or
folate (vitamin Bc) and cyanocobalamin or cobalamin (vitamin B12) in a ratio of
substantially 75:1 (by weight).

9. A composition according to any one of claims 1 to 8, wherein said amino acid
30 chelates are selected from zinc amino acid chelate, iron amino acid chelate,
magnesium amino acid chelate, calcium amino acid chelate and manganese amino



acid chelate.

10. A composition according to any one of claims 1 to 9, wherein said antioxidants are selected from proanthocyanidins, anthocyanidins, anthocyanosides, glycosides,
5 garlic extract, bilberry extract, lime flower extract, provitamin A, vitamin A, vitamin C and vitamin E.

11. A composition according to any one of claims 1 to 10, wherein said antioxidants are obtained from pine bark extract or grape seed extract.

10

12. A composition according to any one of claims 1 to 11, further comprising one or more bioflavonoids.

13. A composition according to any one of claims 1 to 12, further comprising cysteine
15 or a pharmaceutically acceptable salt thereof.

14. A composition according to any one of claims 1 to 13, further comprising choline or a pharmaceutically acceptable salt thereof.

15. A composition according to any one of claims 1 to 14 comprising 0.15-1.7 % w/w
20 β -carotene (provitamin A).

16. A composition according to any one of claims 1 to 15 comprising 8-40% w/w ascorbic acid (vitamin C).

25

17. A composition according to any one of claims 1 to 16 comprising 0.0004-0.002% w/w cholecalciferol (vitamin D3).

18. A composition according to any one of claims 1 to 17 comprising 0.8-4% w/w
30 d- α -tocopherol (vitamin E).



19. A composition according to any one of claims 1 to 18 comprising 9-45% w/w amino acid metal chelates.

20. A composition according to any one of claims 1 to 19 comprising 1.5-4% w/w

5 *Echinacea* extract.

21. A composition according to any one of claims 1 to 20 comprising 0.08-0.4% w/w *Ginkgo biloba* extract.

10 22. A composition according to any one of claims 1 to 21 comprising 2-17% w/w antioxidants.

23. A composition according to any one of claims 1 to 22 comprising 2-8% w/w bioflavonoids.

24. A therapeutic composition substantially as described herein, in the specification and Example.

Dated this 20th day of January 1999.

STOLAIR PTY LTD

By its Patent Attorneys
MADDERN

cm HtA

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